

## CLAIMS

I claim:

1. A method comprising:

a) administering an activatable MRI agent comprising a chelator and a paramagnetic metal ion that is coordinatively saturated by said chelator and a therapeutic blocking moiety covalently attached to said chelator, wherein said therapeutic blocking moiety comprises a cleavage site and an agent therapeutically active in cancer;

b) cleaving said cleavage site such that:

i) said agent therapeutically active in cancer interacts with a target substance; and,

ii) the  $T_1$  of said MRI agent is decreased; and,

c) producing a magnetic resonance image of a cell, tissue, or patient and eliciting a therapeutic effect.

2. A method according to Claim 1, wherein said chelator is DOTA or DPTA.

3. A method according to Claim 2, wherein said chelator is a substituted chelator.

4. A method according to Claim 1, wherein said agent therapeutically active in cancer is selected from the group consisting of doxorubicin, docetaxel, etoposide, irinotecan, paclitaxel, tenoposide, topotecan, vinblastine, vincristine, vindesine, cisplatin, methotrexate, and taxol.

5. A method according to Claim 1, wherein said cleavage site comprises a peptide capable of being cleaved by a protease.

6. A method according to Claim 5, wherein said protease is selected from the group consisting of serine proteases, cysteine proteases, aspartyl proteases and metalloproteases.

7. A method according to Claim 6, wherein said cysteine proteases are selected from the group consisting of cathepsins, calpains, caspases, and interleukin-converting enzyme (ICE).

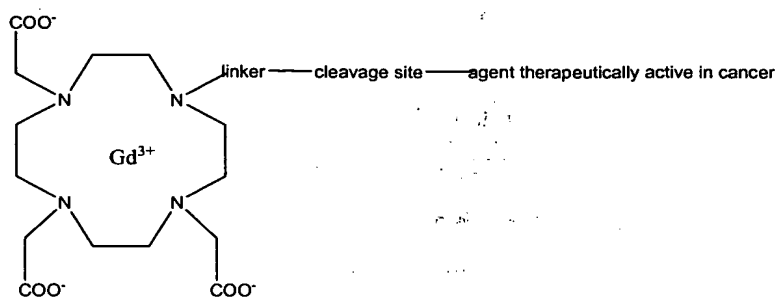
8. A method according to Claim 6, wherein said serine proteases are selected from the group consisting of trypsin, chymotrypsin, and tissue plasminogen activator and (tPA).

9. A method according to Claim 6, wherein said metalloproteases are selected from the group consisting of metalloproteinase-1, metalloproteinase-2, and metalloproteinase-3.

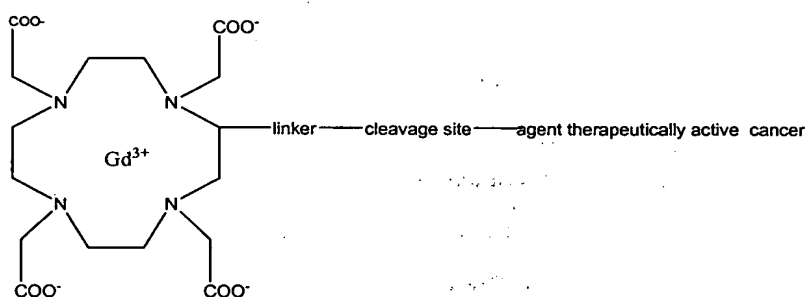
10. A method according to Claim 1, wherein said cleavage site comprises a carbohydrate group capable of being cleaved by a carbohydrase.

11. A method according to Claim 1, wherein said paramagnetic metal ion is selected from the group consisting of gadolinium ( $Gd^{3+}$ ), iron III ( $Fe^{3+}$ ), manganese II ( $Mn^{2+}$ ), yttrium III ( $Y^{3+}$ ), dysprosium ( $Dy^{3+}$ ), and chromium ( $Cr(III)$ ).

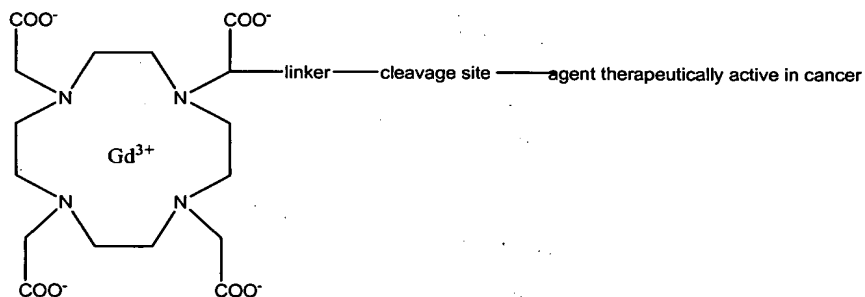
12. A method according to Claim 1, wherein said MRI agent has the formula:



13. A method according to Claim 1, wherein said MRI agent has the formula:



14. A method according to Claim 1, wherein said MRI agent has the formula:



15. A method according to Claim 10, 11, or 12, wherein said agent therapeutically active in cancer is selected from the group consisting of doxorubicin, docetaxel, etoposide, irinotecan, paclitaxel, tenoposide, topotecan, vinblastine, vincristine, vindesine, cisplatin, methotrexate, and taxol.

16. A method according to Claim 10, 11, or 12, wherein said cleavage site comprises a peptide capable of being cleaved by a protease.

17. A method according to Claim 10, 11, or 12, wherein said cleavage site comprises a carbohydrate group capable of being cleaved by a carbohydrase.